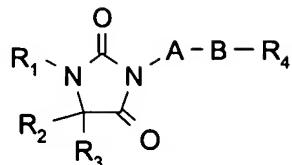


Claims

What is claimed is:

1. A compound of the formula:



5

wherein R₁ is selected from the group consisting of alkyl, alkenyl, alkynyl, aryl, carbocyclic, heterocyclic, heteroaryl and hydrogen;

R₂ and R₃ are each selected from the group consisting of alkyl, alkenyl, alkynyl, aryl, C-amido, carbocyclic, C-carboxy, heteroaryl, heterocyclic and hydrogen. R₂ and R₃

10 can also be combined to afford a carbocyclic group;

A is a branched or straight chain alkylene, a branched or straight chain alkylidene, a branched or straight chain alkylidyne, oxo, or sulfonyl;

B is aryl or heteroaryl; and

R₄ is amidine, carboxyamidine, hydroxyamidine or ketoamidine,

15 or an isomer or isomeric mixture thereof or a pharmaceutically acceptable salt thereof.

2. The compound of claim 1 wherein B is naphthalenyl and R₄ is amidine.

20 3. The compound of claim 1 wherein the compound is selected from the group consisting of:

1-[[7-(aminoiminomethyl)-2-naphthalenyl]methyl]-2,5-dioxo-4-phenyl-3-(phenylmethyl)-4-imidazolidineacetamide;

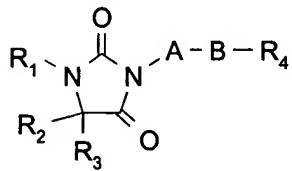
1-[[7-(aminoiminomethyl)-2-naphthalenyl]methyl]-2,5-dioxo-4-phenyl-3-(phenylmethyl)-4-imidazolidineacetic acid;

25 1-[[7-(aminoiminomethyl)-2-naphthalenyl]methyl]-2,5-dioxo-4-phenyl-3-(phenylmethyl)-4-imidazolidinepropanamide;

7-[[4-(4-aminophenyl)-4-methyl-2,5-dioxo-3-(phenylmethyl)-1-imidazolidinyl]methyl]-2-naphthalenecarboximidamide;

7-[[3-(4-aminophenyl)methyl]-4-methyl-2,5-dioxo-4-phenyl-1-imidazolidinyl]methyl]-2-naphthalenecarboximidamide;
7-[[4-(4-aminophenyl)-4-methyl-2,5-dioxo-3-(phenylmethyl)-1-imidazolidinyl]methyl]-2-naphthalenecarboximidamide;
5 4-[[3-[[7-(aminoiminomethyl)-2-naphthalenyl]methyl]-5-methyl-2,4-dioxo-5-phenyl-1-imidazolidinyl]methyl]-benzamide;
3-[[3-[[7-(aminoiminomethyl)-2-naphthalenyl]methyl]-5-methyl-2,4-dioxo-5-phenyl-1-imidazolidinyl]methyl]-benzamide;
7-[[3-[(3-aminophenyl)methyl]-4-methyl-2,5-dioxo-4-phenyl-1-imidazolidinyl]methyl]-2-naphthalenecarboximidamide; and
10 7-[[3-[[4-(aminoiminomethyl)phenyl]methyl]-4-methyl-2,5-dioxo-4-phenyl-1-imidazolidinyl]methyl]-2-naphthalene carboximidamide.

4. A pharmaceutical composition useful in treating a mammal having a disease-
15 state characterized by thrombotic activity, which composition comprises a therapeutically effective amount of a compound of the formula:



wherein R₁ is selected from the group consisting of alkyl, alkenyl, alkynyl, aryl, carbocyclic, heterocyclic, heteroaryl and hydrogen;

20 R₂ and R₃ are each selected from the group consisting of alkyl, alkenyl, alkynyl, aryl, C-amido, carbocyclic, C-carboxy, heteroaryl, heterocyclic and hydrogen. R₂ and R₃ can also be combined to afford a carbocyclic group;

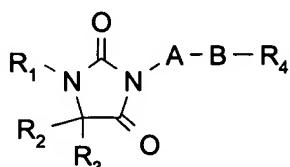
A is a branched or straight chain alkylene, a branched or straight chain alkylidene, a branched or straight chain alkylidyne, oxo, or sulfonyl;

25 B is aryl or heteroaryl; and

R₄ is amidine, carboxyamidine, hydroxyamidine or ketoamidine, or an isomer or isomeric mixture thereof or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable excipient.

5. The pharmaceutical composition of claim 4 wherein the disease-state is selected from the group consisting of unstable angina, myocardial infarction, cerebral thromboembolism, transient ischemic attack, pulmonary embolism, disseminated intravascular coagulation, stroke, deep vein thrombosis, and coronary reocclusion after 5 thrombolytic therapy.

6. A method of treating a mammal having a disease-state characterized by thrombotic activity, which method comprises administering to a mammal in need thereof a therapeutically effective amount of a compound of the formula:



10 wherein R₁ is selected from the group consisting of alkyl, alkenyl, alkynyl, aryl, carbocyclic, heterocyclic, heteroaryl and hydrogen;

R₂ and R₃ are each selected from the group consisting of alkyl, alkenyl, alkynyl, aryl, C-amido, carbocyclic, C-carboxy, heteroaryl, heterocyclic and hydrogen. R₂ and R₃ 15 can also be combined to afford a carbocyclic group;

A is a branched or straight chain alkylene, a branched or straight chain alkylidene, a branched or straight chain alkylidyne, oxo, or sulfonyl;

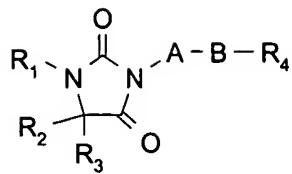
B is aryl or heteroaryl; and

R₄ is amidine, carboxyamidine, hydroxyamidine or ketoamidine,

20 or an isomer or isomeric mixture thereof or a pharmaceutically acceptable salt thereof.

7. The method of claim 6 wherein the disease-state is selected from the group consisting of unstable angina, myocardial infarction, cerebral thromboembolism, transient 25 ischemic attack, pulmonary embolism, disseminated intravascular coagulation, stroke, deep vein thrombosis, and coronary reocclusion after thrombolytic therapy.

8. A method of inhibiting Factor VIIa/TF by administering a therapeutically effective amount of a compound of the formula:



wherein R₁ is selected from the group consisting of alkyl, alkenyl, alkynyl, aryl, carbocyclic, heterocyclic, heteroaryl and hydrogen;

5 R₂ and R₃ are each selected from the group consisting of alkyl, alkenyl, alkynyl, aryl, C-amido, carbocyclic, C-carboxy, heteroaryl, heterocyclic and hydrogen. R₂ and R₃ can also be combined to afford a carbocyclic group;

A is a branched or straight chain alkylene, a branched or straight chain alkylidene, a branched or straight chain alkylidyne, oxo, or sulfonyl;

B is aryl or heteroaryl; and

10 R₄ is amidine, carboxyamidine, hydroxyamidine or ketoamidine, or an isomer or isomeric mixture thereof or a pharmaceutically acceptable salt thereof.